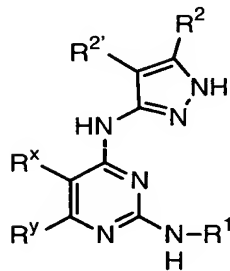


We claim:

1. A compound of formula **IIc**:



**IIc**

or a pharmaceutically acceptable derivative or prodrug thereof, wherein;

R<sup>x</sup> and R<sup>y</sup> are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen, wherein any substitutable carbon on said fused ring formed by R<sup>x</sup> and R<sup>y</sup> is substituted by oxo, T-R<sup>3</sup>, or L-Z-R<sup>3</sup>, and any substitutable nitrogen on said ring formed by R<sup>x</sup> and R<sup>y</sup> is substituted by R<sup>4</sup>;

R<sup>1</sup> is T- (Ring D);

Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms selected from nitrogen, oxygen or sulfur, wherein Ring D is substituted at any substitutable ring carbon by oxo, T-R<sup>5</sup>, or V-Z-R<sup>5</sup>, and at any substitutable ring nitrogen by -R<sup>4</sup>;

10026967-121001

T is a valence bond or a C<sub>1-4</sub> alkylidene chain;

Z is a C<sub>1-4</sub> alkylidene chain;

L is -O-, -S-, -SO-, -SO<sub>2</sub>-, -N(R<sup>6</sup>)SO<sub>2</sub>-, -SO<sub>2</sub>N(R<sup>6</sup>)-,  
-N(R<sup>6</sup>)-, -CO-, -CO<sub>2</sub>-, -N(R<sup>6</sup>)CO-, -N(R<sup>6</sup>)C(O)O-,  
-N(R<sup>6</sup>)CON(R<sup>6</sup>)-, -N(R<sup>6</sup>)SO<sub>2</sub>N(R<sup>6</sup>)-, -N(R<sup>6</sup>)N(R<sup>6</sup>)-,  
-C(O)N(R<sup>6</sup>)-, -OC(O)N(R<sup>6</sup>)-, -C(R<sup>6</sup>)<sub>2</sub>O-, -C(R<sup>6</sup>)<sub>2</sub>S-,  
-C(R<sup>6</sup>)<sub>2</sub>SO-, -C(R<sup>6</sup>)<sub>2</sub>SO<sub>2</sub>-, -C(R<sup>6</sup>)<sub>2</sub>SO<sub>2</sub>N(R<sup>6</sup>)-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)-,  
-C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)C(O)-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)C(O)O-, -C(R<sup>6</sup>)=NN(R<sup>6</sup>)-,  
-C(R<sup>6</sup>)=N-O-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)N(R<sup>6</sup>)-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)SO<sub>2</sub>N(R<sup>6</sup>)-, or  
-C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)CON(R<sup>6</sup>)-;

R<sup>2</sup> and R<sup>2'</sup> are independently selected from -R, -T-W-R<sup>6</sup>, or  
R<sup>2</sup> and R<sup>2'</sup> are taken together with their intervening  
atoms to form a fused, 5-8 membered, unsaturated or  
partially unsaturated, ring having 0-3 ring heteroatoms  
selected from nitrogen, oxygen, or sulfur, wherein each  
substitutable carbon on said fused ring formed by R<sup>2</sup>  
and R<sup>2'</sup> is substituted by halo, oxo, -CN, -NO<sub>2</sub>, -R<sup>7</sup>, or  
-V-R<sup>6</sup>, and any substitutable nitrogen on said ring  
formed by R<sup>2</sup> and R<sup>2'</sup> is substituted by R<sup>4</sup>;

R<sup>3</sup> is selected from -R, -halo, -OR, -C(=O)R, -CO<sub>2</sub>R,  
-COCOR, -COCH<sub>2</sub>COR, -NO<sub>2</sub>, -CN, -S(O)R, -S(O)<sub>2</sub>R, -SR,  
-N(R<sup>4</sup>)<sub>2</sub>, -CON(R<sup>7</sup>)<sub>2</sub>, -SO<sub>2</sub>N(R<sup>7</sup>)<sub>2</sub>, -OC(=O)R, -N(R<sup>7</sup>)COR,  
-N(R<sup>7</sup>)CO<sub>2</sub>(C<sub>1-6</sub> aliphatic), -N(R<sup>4</sup>)N(R<sup>4</sup>)<sub>2</sub>, -C=NN(R<sup>4</sup>)<sub>2</sub>,  
-C=N-OR, -N(R<sup>7</sup>)CON(R<sup>7</sup>)<sub>2</sub>, -N(R<sup>7</sup>)SO<sub>2</sub>N(R<sup>7</sup>)<sub>2</sub>, -N(R<sup>4</sup>)SO<sub>2</sub>R, or  
-OC(=O)N(R<sup>7</sup>)<sub>2</sub>;

each R is independently selected from hydrogen or an  
optionally substituted group selected from C<sub>1-6</sub>  
aliphatic, C<sub>6-10</sub> aryl, a heteroaryl ring having 5-10  
ring atoms, or a heterocyclyl ring having 5-10 ring  
atoms;

each R<sup>4</sup> is independently selected from -R<sup>7</sup>, -COR<sup>7</sup>,  
-CO<sub>2</sub>(optionally substituted C<sub>1-6</sub> aliphatic), -CON(R<sup>7</sup>)<sub>2</sub>,  
or -SO<sub>2</sub>R<sup>7</sup>;

10667-96920T

each  $R^5$  is independently selected from -R, halo, -OR, -C(=O)R, -CO<sub>2</sub>R, -COCOR, -NO<sub>2</sub>, -CN, -S(O)R, -SO<sub>2</sub>R, -SR, -N(R<sup>4</sup>)<sub>2</sub>, -CON(R<sup>4</sup>)<sub>2</sub>, -SO<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, -OC(=O)R, -N(R<sup>4</sup>)COR, -N(R<sup>4</sup>)CO<sub>2</sub>(optionally substituted C<sub>1-6</sub> aliphatic), -N(R<sup>4</sup>)N(R<sup>4</sup>)<sub>2</sub>, -C=NN(R<sup>4</sup>)<sub>2</sub>, -C=N-OR, -N(R<sup>4</sup>)CON(R<sup>4</sup>)<sub>2</sub>, -N(R<sup>4</sup>)SO<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, -N(R<sup>4</sup>)SO<sub>2</sub>R, or -OC(=O)N(R<sup>4</sup>)<sub>2</sub>;

V is -O-, -S-, -SO-, -SO<sub>2</sub>-, -N(R<sup>6</sup>)SO<sub>2</sub>-, -SO<sub>2</sub>N(R<sup>6</sup>)-, -N(R<sup>6</sup>)-, -CO-, -CO<sub>2</sub>-, -N(R<sup>6</sup>)CO-, -N(R<sup>6</sup>)C(O)O-, -N(R<sup>6</sup>)CON(R<sup>6</sup>)-, -N(R<sup>6</sup>)SO<sub>2</sub>N(R<sup>6</sup>)-, -N(R<sup>6</sup>)N(R<sup>6</sup>)-, -C(O)N(R<sup>6</sup>)-, -OC(O)N(R<sup>6</sup>)-, -C(R<sup>6</sup>)<sub>2</sub>O-, -C(R<sup>6</sup>)<sub>2</sub>S-, -C(R<sup>6</sup>)<sub>2</sub>SO-, -C(R<sup>6</sup>)<sub>2</sub>SO<sub>2</sub>-, -C(R<sup>6</sup>)<sub>2</sub>SO<sub>2</sub>N(R<sup>6</sup>)-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)C(O)-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)C(O)O-, -C(R<sup>6</sup>)=NN(R<sup>6</sup>)-, -C(R<sup>6</sup>)=N-O-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)N(R<sup>6</sup>)-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)SO<sub>2</sub>N(R<sup>6</sup>)-, or -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)CON(R<sup>6</sup>)-;

W is -C(R<sup>6</sup>)<sub>2</sub>O-, -C(R<sup>6</sup>)<sub>2</sub>S-, -C(R<sup>6</sup>)<sub>2</sub>SO-, -C(R<sup>6</sup>)<sub>2</sub>SO<sub>2</sub>-, -C(R<sup>6</sup>)<sub>2</sub>SO<sub>2</sub>N(R<sup>6</sup>)-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)-, -CO-, -CO<sub>2</sub>-, -C(R<sup>6</sup>)OC(O)-, -C(R<sup>6</sup>)OC(O)N(R<sup>6</sup>)-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)CO-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)C(O)O-, -C(R<sup>6</sup>)=NN(R<sup>6</sup>)-, -C(R<sup>6</sup>)=N-O-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)N(R<sup>6</sup>)-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)SO<sub>2</sub>N(R<sup>6</sup>)-, -C(R<sup>6</sup>)<sub>2</sub>N(R<sup>6</sup>)CON(R<sup>6</sup>)-, or -CON(R<sup>6</sup>)-;

each  $R^6$  is independently selected from hydrogen or an optionally substituted C<sub>1-4</sub> aliphatic group, or two  $R^6$  groups on the same nitrogen atom are taken together with the nitrogen atom to form a 5-6 membered heterocyclyl or heteroaryl ring; and

each  $R^7$  is independently selected from hydrogen or an optionally substituted C<sub>1-6</sub> aliphatic group, or two  $R^7$  on the same nitrogen are taken together with the nitrogen to form a 5-8 membered heterocyclyl or heteroaryl ring.

10066967.121901

2. The compound according to claim 1, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^x$  and  $R^y$  are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-6 membered ring having 0-2 heteroatoms selected from oxygen, sulfur, or nitrogen, wherein any substitutable carbon on said fused ring formed by  $R^x$  and  $R^y$  is substituted by oxo,  $T-R^3$ , or  $L-Z-R^3$ , and any substitutable nitrogen on said ring formed by  $R^x$  and  $R^y$  is substituted by  $R^4$ ;
- (b)  $R^1$  is  $T-(\text{Ring D})'$ , wherein  $T$  is a valence bond or a methylene unit; ✓
- (c) Ring D is a 5-7 membered monocyclic ring or an 8-10 membered bicyclic ring selected from an aryl or heteroaryl ring;
- (d)  $R^2$  is  $-R$  or  $-T-W-R^6$  and  $R^{2'}$  is hydrogen; or  $R^2$  and  $R^{2'}$  are taken together to form an optionally substituted benzo ring; and
- (e)  $R^3$  is selected from  $-R$ ,  $-\text{halo}$ ,  $-\text{OR}$ , or  $-\text{N}(\text{R}^4)_2$ .

3. The compound according to claim 2, wherein:

- (a)  $R^x$  and  $R^y$  are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-6 membered ring having 0-2 heteroatoms selected from oxygen, sulfur, or nitrogen, wherein any substitutable carbon on said fused ring formed by  $R^x$  and  $R^y$  is substituted by oxo,  $T-R^3$ , or  $L-Z-R^3$ , and any substitutable nitrogen on said ring formed by  $R^x$  and  $R^y$  is substituted by  $R^4$ ;

10026967 121904

- (b)  $R^1$  is T-(Ring D), wherein T is a valence bond or a methylene unit;
- (c) Ring D is a 5-7 membered monocyclic ring or an 8-10 membered bicyclic ring selected from an aryl or heteroaryl ring;
- (d)  $R^2$  is -R or -T-W- $R^6$  and  $R^{2'}$  is hydrogen; or  $R^2$  and  $R^{2'}$  are taken together to form an optionally substituted benzo ring; and
- (e)  $R^3$  is selected from -R, -halo, -OR, or -N( $R^4$ )<sub>2</sub>.

4. The compound according to claim 2, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^x$  and  $R^y$  are taken together to form a benzo, pyrido, cyclopento, cyclohexo, cyclohepto, thieno, piperidino, or imidazo ring;
- (b)  $R^1$  is T-(Ring D), wherein T is a valence bond and Ring D is a 5-6 membered monocyclic ring or an 8-10 membered bicyclic ring selected from an aryl or heteroaryl ring;
- (c)  $R^2$  is -R and  $R^{2'}$  is hydrogen, wherein R is selected from hydrogen, C<sub>1-6</sub> aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring; and
- (d)  $R^3$  is selected from -R, -halo, -OR, or -N( $R^4$ )<sub>2</sub>, wherein R is selected from hydrogen, C<sub>1-6</sub> aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or -N( $R^4$ ) -.

5. The compound according to claim 4, wherein:

10026967 121901

- (a)  $R^x$  and  $R^y$  are taken together to form a benzo, pyrido, cyclopento, cyclohexo, cyclohepto, thieno, piperidino, or imidazo ring;
- (b)  $R^1$  is T-(Ring D), wherein T is a valence bond and Ring D is a 5-6 membered monocyclic ring or an 8-10 membered bicyclic ring selected from an aryl or heteroaryl ring;
- (c)  $R^2$  is -R and  $R^{2'}$  is hydrogen, wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring; and
- (d)  $R^3$  is selected from -R, -halo, -OR, or  $-N(R^4)_2$ , wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or  $-N(R^4)-$ .

6. The compound according to claim 4, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^x$  and  $R^y$  are taken together to form a benzo, pyrido, piperidino, or cyclohexo ring;
- (b)  $R^1$  is T-Ring D, wherein T is a valence bond and Ring D is a 5-6 membered aryl or heteroaryl ring;
- (c)  $R^2$  is hydrogen or  $C_{1-4}$  aliphatic and  $R^{2'}$  is hydrogen;
- (d)  $R^3$  is selected from -R, -OR, or  $-N(R^4)_2$ , wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or -NH-; and
- (e) Ring D is substituted by up to three substituents selected from -halo, -CN,  $-NO_2$ ,

10026967 121904

-N(R<sup>4</sup>)<sub>2</sub>, optionally substituted C<sub>1-6</sub> aliphatic group, -OR, -C(O)R, -CO<sub>2</sub>R, -CONH(R<sup>4</sup>), -N(R<sup>4</sup>)COR, -N(R<sup>4</sup>)CO<sub>2</sub>R, -SO<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, -N(R<sup>4</sup>)SO<sub>2</sub>R, -N(R<sup>6</sup>)COCH<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, -N(R<sup>6</sup>)COCH<sub>2</sub>CH<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, or -N(R<sup>6</sup>)COCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, wherein R is selected from hydrogen, C<sub>1-6</sub> aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring.

7. The compound according to claim 6, wherein:
- (a) R<sup>x</sup> and R<sup>y</sup> are taken together to form a benzo, pyrido, piperidino, or cyclohexo ring;
  - (b) R<sup>1</sup> is T-Ring D, wherein T is a valence bond and Ring D is a 5-6 membered aryl or heteroaryl ring;
  - (c) R<sup>2</sup> is hydrogen or C<sub>1-4</sub> aliphatic and R<sup>2'</sup> is hydrogen;
  - (d) R<sup>3</sup> is selected from -R, -OR, or -N(R<sup>4</sup>)<sub>2</sub>, wherein R is selected from hydrogen, C<sub>1-6</sub> aliphatic, 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or -NH-; and
  - (e) Ring D is substituted by up to three substituents selected from -halo, -CN, -NO<sub>2</sub>, -N(R<sup>4</sup>)<sub>2</sub>, optionally substituted C<sub>1-6</sub> aliphatic group, -OR, -C(O)R, -CO<sub>2</sub>R, -CONH(R<sup>4</sup>), -N(R<sup>4</sup>)COR, -N(R<sup>4</sup>)CO<sub>2</sub>R, -SO<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, -N(R<sup>4</sup>)SO<sub>2</sub>R, -N(R<sup>6</sup>)COCH<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, -N(R<sup>6</sup>)COCH<sub>2</sub>CH<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, or -N(R<sup>6</sup>)COCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, wherein R is selected from hydrogen, C<sub>1-6</sub> aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring.

10026957.121901

8. The compound according to claim 1, wherein  $R^x$  and  $R^y$  are taken together with their intervening atoms to form a fused benzo ring, wherein any substitutable carbon on said fused ring formed by  $R^x$  and  $R^y$  is substituted by T- $R^3$ , or L-Z- $R^3$ .

9. The compound according to claim 8, wherein:

- (a)  $R^1$  is T-(Ring D), wherein T is a valence bond or a methylene unit;
- (b) Ring D is a 5-7 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring;
- (c)  $R^2$  is -R or -T-W- $R^6$  and  $R^{2'}$  is hydrogen; or  $R^2$  and  $R^{2'}$  are taken together to form an optionally substituted benzo ring; and
- (d)  $R^3$  is selected from -R, -halo, -OR, or -N( $R^4$ )<sub>2</sub>.

10. The compound according to claim 9, wherein:

- (a)  $R^1$  is T-(Ring D), wherein T is a valence bond and Ring D is a 5-6 membered monocyclic ring or an 8-10 membered bicyclic ring selected from an aryl or heteroaryl ring;
- (b)  $R^2$  is -R and  $R^{2'}$  is hydrogen, wherein R is selected from hydrogen, C<sub>1-6</sub> aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring; and
- (c)  $R^3$  is selected from -R, -halo, -OR, or -N( $R^4$ )<sub>2</sub>, wherein R is selected from hydrogen, C<sub>1-6</sub> aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or -N( $R^4$ )-. .

11. The compound according to claim 10, wherein:

1002667.121904



- (a)  $R^1$  is T-Ring D, wherein T is a valence bond and Ring D is a 5-6 membered aryl or heteroaryl ring;
- (b)  $R^2$  is hydrogen or  $C_{1-4}$  aliphatic and  $R^{2'}$  is hydrogen;
- (c)  $R^3$  is selected from -R, -OR, or  $-N(R^4)_2$ , wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or -NH-; and
- (d) Ring D is substituted by up to three substituents selected from -halo, -CN, -NO<sub>2</sub>,  $-N(R^4)_2$ , optionally substituted  $C_{1-6}$  aliphatic group, -OR, -C(O)R, -CO<sub>2</sub>R, -CONH( $R^4$ ),  $-N(R^4)COR$ ,  $-N(R^4)CO_2R$ ,  $-SO_2N(R^4)_2$ ,  $-N(R^4)SO_2R$ ,  $-N(R^6)COCH_2N(R^4)_2$ ,  $-N(R^6)COCH_2CH_2N(R^4)_2$ , or  $-N(R^6)COCH_2CH_2CH_2N(R^4)_2$ , wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring.

12. The compound according to claim 1, wherein  $R^x$  and  $R^y$  are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen, wherein any substitutable carbon on said fused ring formed by  $R^x$  and  $R^y$  is substituted by oxo, T- $R^3$ , or L-Z- $R^3$ , and any substitutable nitrogen on said ring formed by  $R^x$  and  $R^y$  is substituted by  $R^4$ ; provided that said fused ring formed by  $R^x$  and  $R^y$  is other than benzo.

13. The compound according to claim 12, wherein:

10026967 121901

- (a)  $R^x$  and  $R^y$  are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-6 membered ring having 1-2 heteroatoms selected from oxygen, sulfur, or nitrogen, or a partially unsaturated 6-membered carbocyclo ring, wherein any substitutable carbon on said fused ring formed by  $R^x$  and  $R^y$  is substituted by oxo,  $T-R^3$ , or  $L-Z-R^3$ , and any substitutable nitrogen on said ring formed by  $R^x$  and  $R^y$  is substituted by  $R^4$ ;
- (b)  $R^1$  is  $T-(\text{Ring D})$ , wherein  $T$  is a valence bond or a methylene unit, and Ring D is a 5-7 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring;
- (c)  $R^2$  is  $-R$  or  $-T-W-R^6$  and  $R^{2'}$  is hydrogen; or  $R^2$  and  $R^{2'}$  are taken together to form an optionally substituted benzo ring; and
- (d)  $R^3$  is selected from  $-R$ ,  $-\text{halo}$ ,  $-\text{OR}$ , or  $-\text{N}(\text{R}^4)_2$ .

14. The compound according to claim 13, wherein:

- (a)  $R^x$  and  $R^y$  are taken together to form a benzo, pyrido, cyclopento, cyclohexo, cyclohepto, thieno, piperidino, or imidazo ring, wherein any substitutable carbon on said fused ring formed by  $R^x$  and  $R^y$  is substituted by oxo,  $T-R^3$ , or  $L-Z-R^3$ , and any substitutable nitrogen on said ring formed by  $R^x$  and  $R^y$  is substituted by  $R^4$ ;
- (b)  $R^1$  is  $T-(\text{Ring D})$ , wherein  $T$  is a valence bond and Ring D is a 5-6 membered monocyclic ring or an 8-10 membered bicyclic ring selected from an aryl or heteroaryl ring;
- (c)  $R^2$  is  $-R$  and  $R^{2'}$  is hydrogen, wherein  $R$  is selected from hydrogen,  $\text{C}_{1-6}$  aliphatic, phenyl, a

10026957.121901

5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring; and

- (d)  $R^3$  is selected from  $-R$ ,  $-\text{halo}$ ,  $-\text{OR}$ , or  $-\text{N}(\text{R}^4)_2$ , wherein  $R$  is selected from hydrogen,  $\text{C}_{1-6}$  aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and  $L$  is  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{N}(\text{R}^4)-$ .

15. The compound according to claim 14, wherein:

- (a)  $R^x$  and  $R^y$  are taken together to form a pyrido, piperidino, or cyclohexo ring, wherein any substitutable carbon on said fused ring formed by  $R^x$  and  $R^y$  is substituted by oxo,  $\text{T}-\text{R}^3$ , or  $\text{L}-\text{Z}-\text{R}^3$ , and any substitutable nitrogen on said ring formed by  $R^x$  and  $R^y$  is substituted by  $\text{R}^4$ ;
- (b)  $\text{R}^1$  is T-Ring D, wherein  $\text{T}$  is a valence bond and Ring D is a 5-6 membered aryl or heteroaryl ring;
- (c)  $\text{R}^2$  is hydrogen or  $\text{C}_{1-4}$  aliphatic and  $\text{R}^{2'}$  is hydrogen;
- (d)  $\text{R}^3$  is selected from  $-\text{R}$ ,  $-\text{OR}$ , or  $-\text{N}(\text{R}^4)_2$ , wherein  $\text{R}$  is selected from hydrogen,  $\text{C}_{1-6}$  aliphatic, 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and  $\text{L}$  is  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NH}-$ ; and
- (e) Ring D is substituted by up to three substituents selected from  $-\text{halo}$ ,  $-\text{CN}$ ,  $-\text{NO}_2$ ,  $-\text{N}(\text{R}^4)_2$ , optionally substituted  $\text{C}_{1-6}$  aliphatic group,  $-\text{OR}$ ,  $-\text{C}(\text{O})\text{R}$ ,  $-\text{CO}_2\text{R}$ ,  $-\text{CONH}(\text{R}^4)$ ,  $-\text{N}(\text{R}^4)\text{COR}$ ,  $-\text{N}(\text{R}^4)\text{CO}_2\text{R}$ ,  $-\text{SO}_2\text{N}(\text{R}^4)_2$ ,  $-\text{N}(\text{R}^4)\text{SO}_2\text{R}$ ,  $-\text{N}(\text{R}^6)\text{COCH}_2\text{N}(\text{R}^4)_2$ ,  $-\text{N}(\text{R}^6)\text{COCH}_2\text{CH}_2\text{N}(\text{R}^4)_2$ , or  $-\text{N}(\text{R}^6)\text{COCH}_2\text{CH}_2\text{CH}_2\text{N}(\text{R}^4)_2$ , wherein  $\text{R}$  is selected from hydrogen,  $\text{C}_{1-6}$  aliphatic, phenyl, a 5-6

10026967-121904

membered heteroaryl ring, or a 5-6 membered heterocyclic ring.

16. A compound selected from the group consisting of:

{2-[(2-Hydroxyethyl)phenylamino]-quinazolin-4-yl}-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(Methylphenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

(5-methyl-2H-pyrazol-3-yl)-{2-[N-methyl-N-(pyridin-3-ylmethyl)amino]-quinazolin-4-yl}-amine;

(5-Methyl-2H-pyrazol-3-yl)-(2-phenylamino-quinazolin-4-yl)-amine;

(2-Benzylamino-quinazolin-4-yl)-(5-methyl-2H-pyrazol-3-yl)-amine;

(2-Cyclohexylamino-quinazolin-4-yl)-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(2,3-Dihydrobenzo[1,4]dioxin-6-ylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

(2-Cyclohexylmethylamino-quinazolin-4-yl)-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(1H-Indazol-6-ylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

(5-Methyl-2H-pyrazol-3-yl)-[2-(pyridin-3-ylmethylamino)-quinazolin-4-yl]-amine;

[2-(3-Chlorophenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(4-Chlorophenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(4-Fluorobenzylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

10026967-121901

{2-[2-(2-Hydroxyethyl)phenylamino]-quinazolin-4-yl}-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(4-Cyanomethylphenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(3-Hydroxymethylphenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(3-Hydroxyphenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-(2-phenylamino-quinazolin-4-yl)-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(3-methylphenylamino)-quinazolin-4-yl]-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(6-methoxypyridin-3-ylamino)-quinazolin-4-yl]-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(indan-5-ylamino)-quinazolin-4-yl]-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(1H-indol-6-ylamino)-quinazolin-4-yl]-amine;

[2-(4-Acetamido-3-methylphenylamino)-quinazolin-4-yl]-(5-cyclopropyl-2H-pyrazol-3-yl)-amine;

[2-(4-Chloro-3-methylphenylamino)-quinazolin-4-yl]-(5-cyclopropyl-2H-pyrazol-3-yl)-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(4-ethylphenylamino)-quinazolin-4-yl]-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(4-propylphenylamino)-quinazolin-4-yl]-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-{2-[4-(2-hydroxyethyl)phenylamino]-quinazolin-4-yl}-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-(2-phenethylamino-quinazolin-4-yl)-amine;

[2-(2-Cyclohexylethylamino)-quinazolin-4-yl]-(5-cyclopropyl-2H-pyrazol-3-yl)-amine;

10026967.121901

[2-(4-Carboxymethoxyphenylamino)-quinazolin-4-yl]-(5-cyclopropyl-2H-pyrazol-3-yl)-amine;

[2-(4-Cyanomethylphenylamino)-quinazolin-4-yl]-(5-cyclopropyl-2H-pyrazol-3-yl)-amine;

[2-(Benzothiazol-6-ylamino)-quinazolin-4-yl]-(5-cyclopropyl-2H-pyrazol-3-yl)-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(3,4-dimethylphenylamino)-quinazolin-4-yl]-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(2-phenoxyethylamino)-quinazolin-4-yl]-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(thiophen-2-methylamino)-quinazolin-4-yl]-amine;

[2-(4-Carboxymethylphenylamino)-quinazolin-4-yl]-(5-cyclopropyl-2H-pyrazol-3-yl)-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(1H-indazol-5-ylamino)-quinazolin-4-yl]-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(pyridin-3-ylmethylamino)-quinazolin-4-yl]-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(3-methoxycarbonylphenylamino)-quinazolin-4-yl]-amine;

[2-(3-Carboxyphenylamino)-quinazolin-4-yl]-(5-cyclopropyl-2H-pyrazol-3-yl)-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(3-ethylphenylamino)-quinazolin-4-yl]-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(2,3-dimethylphenylamino)-quinazolin-4-yl]-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(3,4-dimethoxyphenylamino)-quinazolin-4-yl]-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(3-methoxyphenylamino)-quinazolin-4-yl]-amine;

(5-Methyl-2H-pyrazol-3-yl)-(2-phenylamino-5,6,7,8-tetrahydroquinazolin-4-yl)-amine;

10026967.121001

[2-(Biphenyl-3-ylamino)-quinazolin-4-yl]-(5-cyclopropyl-2H-pyrazol-3-yl)-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(3-phenylprop-1-ylamino)-quinazolin-4-yl]-amine;

[2-(4-acetamido-3-methylphenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-[2-(indan-2-ylamino)-quinazolin-4-yl]-amine;

[2-(3-Methylphenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(2-Chloro-5-methylphenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

(5-Cyclopropyl-2H-pyrazol-3-yl)-{2-[4-(morpholin-1-yl)phenylamino]-quinazolin-4-yl}-amine;

[2-(Benzothiazol-6-ylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(3,4-Dimethylphenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(3-Ethylphenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(3-Methoxyphenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(4-Acetamido-3-cyanophenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine ;

[2-(2-Methoxybiphenyl-5-ylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(4-Acetamidophenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(4-tert-Butoxycarbonylamino-phenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(4-Cyanophenylamino)-quinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

10026967.121901

(5-Methyl-2H-pyrazol-3-yl) - [2- (6-oxo-6,10b-dihydro-4aH-benzo[c]chromen-2-ylamino) -quinazolin-4-yl] -amine;

[2- (Biphenyl-3-ylamino) -quinazolin-4-yl] - (5-methyl-2H-pyrazol-3-yl) -amine;

[2- (4-Methoxycarbonylmethyl-3-methylphenylamino) -quinazolin-4-yl] - (5-methyl-2H-pyrazol-3-yl) -amine;

[2- (4-Carboxymethyl-3-methylphenylamino) -quinazolin-4-yl] - (5-methyl-2H-pyrazol-3-yl) -amine;

[2- (4-Aminophenylamino) -quinazolin-4-yl] - (5-methyl-2H-pyrazol-3-yl) -amine;

[2- (4-Bromophenylamino) -quinazolin-4-yl] - (5-methyl-2H-pyrazol-3-yl) -amine;

[2- (4-Isobutyrylamino-phenylamino) -quinazolin-4-yl] - (5-methyl-2H-pyrazol-3-yl) -amine;

(5-Ethyl-2H-pyrazol-3-yl) - [2- (5-ethyl-2H-pyrazol-3-ylamino) -quinazolin-4-yl] -amine;

(1H-Indazol-3-yl) - (2-phenylamino-quinazolin-4-yl) -amine;

(1H-Indazol-3-yl) - [2- (3-trifluoromethylphenylamino) -quinazolin-4-yl] -amine;

(1H-Indazol-3-yl) - [2- (4-trifluoromethylphenylamino) -quinazolin-4-yl] -amine;

[2- (Adamantan-2-ylamino) -quinazolin-4-yl] - (1H-indazol-3-yl) -amine;

(1H-Indazol-3-yl) - (2-methyl-phenyl-amino-quinazolin-4-yl) -amine;

[2- (2-Chloro-phenyl) -amino-quinazolin-4-yl] - (1H-indazol-3-yl) -amine;

(1H-Indazol-3-yl) - [2- (2-trifluoromethylphenylamino) -quinazolin-4-yl] -amine;

[2- (4-Cyanomethylphenylamino) -quinazolin-4-yl] - (1H-indazol-3-yl) -amine;

10026967.121901



[2-(4-Chlorophenylamino)-5,6,7,8-tetrahydroquinazolin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

(5-Methyl-2H-pyrazol-3-yl)-(2-phenylamino-6,7,8,9-tetrahydro-5H-cycloheptapyrimidin-4-yl)-amine;

[2-(Benzimidazol-2-ylamino)-7-benzyl-5,6,7,8-tetrahydro-pyrido[3,4-d]pyrimidin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

(7-Benzyl-2-phenylamino-5,6,7,8-tetrahydro-pyrido[3,4-d]pyrimidin-4-yl)-(5-methyl-2H-pyrazol-3-yl)-amine;

[6-Benzyl-2-(4-chlorophenylamino)-5,6,7,8-tetrahydro-pyrido[4,3-d]pyrimidin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

[2-(Benzimidazol-2-ylamino)-6-benzyl-5,6,7,8-tetrahydro-pyrido[4,3-d]pyrimidin-4-yl]-(5-methyl-2H-pyrazol-3-yl)-amine;

(6-Benzyl-2-phenylamino-5,6,7,8-tetrahydro-pyrido[4,3-d]pyrimidin-4-yl)-(5-methyl-2H-pyrazol-3-yl)-amine;

(5-Methyl-2H-pyrazol-3-yl)-(2-phenylamino-5,6,7,8-tetrahydro-pyrido[3,4-d]pyrimidin-4-yl)-amine;

[2-(4-Cyanomethylphenylamino)-quinazolin-4-yl]-(1H-pyrazolo[3,4-b]pyridin-3-yl)-amine;

[2-(4-Cyanobenzylamino)-quinazolin-4-yl]-(1H-pyrazolo[3,4-b]pyridin-3-yl)-amine;

[2-(4-Cyanomethylphenylamino)-quinazolin-4-yl]-(4-fluoro-1H-indazol-3-yl)-amine;

[2-(4-Cyanophenylamino)-quinazolin-4-yl]-(1H-indazol-3-yl)-amine; and

[2-(4-Cyanobenzylamino)-quinazolin-4-yl]-(1H-indazol-3-yl)-amine.

10026967.121901

17. A composition comprising a compound according to any one of claims 1-16, and a pharmaceutically acceptable carrier.

18. The composition according to claim 17, further comprising an additional therapeutic agent.

19. A method of inhibiting Aurora-2, GSK-3, Src, ERK-2, or AKT activity in a biological sample comprising the step of contacting said biological sample with a compound according to any one of claims 1-16.

20. A method of inhibiting Aurora-2 activity in a patient comprising the step of administering to said patient a composition according to claim 17.

21. A method of inhibiting Aurora-2 activity in a patient comprising the step of administering to said patient a composition according to claim 18.

22. A method of treating an Aurora-2-mediated disease, which method comprises administering to a patient in need of such a treatment a therapeutically effective amount of a composition according to claim 17.

23. The method according to claim 22, wherein said disease is selected from colon, breast, stomach, or ovarian cancer.

24. The method according to claim 23, wherein said method further comprises administering an additional therapeutic agent.

10026967-124901

25. The method according to claim 24, wherein said additional therapeutic agent is a chemotherapeutic agent.

26. A method of inhibiting GSK-3 activity in a patient comprising the step of administering to said patient a composition according to claim 17.

27. A method of inhibiting GSK-3 activity in a patient comprising the step of administering to said patient a composition according to claim 18.

28. A method of method of treating a GSK-3-mediated disease, which method comprises administering to a patient in need of such a treatment a therapeutically effective amount of a composition according to claim 18.

29. The method according to claim 28, wherein said GSK-3-mediated disease is selected from diabetes, Alzheimer's disease, Huntington's Disease, Parkinson's Disease, AIDS-associated dementia, amyotrophic lateral sclerosis (ALS), multiple sclerosis (MS), schizophrenia, cardiomyocyte hypertrophy, reperfusion/ischemia, or baldness.

30. The method according to claim 29, wherein said GSK-3-mediated disease is diabetes.

31. A method of enhancing glycogen synthesis or lowering blood levels of glucose in a patient in need thereof, which method comprises administering to said patient a therapeutically effective amount of a composition according to claim 17.

10026967.121001

32. A method of inhibiting the production of hyperphosphorylated Tau protein in a patient, which method comprises administering to a patient in need thereof a therapeutically effective amount of a composition according to claim 17.

33. A method of inhibiting the phosphorylation of  $\beta$ -catenin, which method comprises administering to a patient in need thereof a therapeutically effective amount of a composition according to claim 17.

34. A method of inhibiting Src activity in a patient comprising the step of administering to said patient a composition according to claim 17.

35. A method of treating a Src-mediated disease, which method comprises administering to a patient in need of such a treatment a therapeutically effective amount of a composition according to claim 17.

36. A method of inhibiting ERK-2 activity in a patient comprising the step of administering to said patient a composition according to claim 17.

37. A method of treating an ERK-2-mediated disease, which method comprises administering to a patient in need of such a treatment a therapeutically effective amount of a composition according to claim 17.

38. A method of inhibiting AKT activity in a patient comprising the step of administering to said patient a composition according to claim 17.

100265967 121901

39. A method of treating an AKT-mediated disease, which method comprises administering to a patient in need of such a treatment a therapeutically effective amount of a composition according to claim 17.

10026967.121901